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Application Number		SEARCH	)			
IDS Flag Clea	rance for Appl	ication 09787426				
IDS Information						
	Content	Mailroom Date	Entry Number	IDS Review	Reviewer	

Content	Mailroom Date	Entry Number	IDS Review	Reviewer
M844	10-22-2001	14	<b>\</b>	11-09-2001 06:49:10 EXPO- CONV
M844	01-31-2006	51	>	, 05-09-2006 18:00:18 DRao

UPDATE

## **EAST Search History**

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1763	((544/320,321) or (514/272)).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/05/09 18:56

5/9/2006 6:56:52 PM Page 1

NPL

		Results
1.	TITLE-ABSTR-KEY(tpk or tau protein kinase) and TITLE-ABSTR-KEY(alzheimer)	73
	[All Sources(- All Sciences -)]	

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Rinsho Byori. The Japanese Journal Of Clinical Pathology

Volume 46, Issue 10, October 1998, Pages 1003-1007

ISSN: 0047-1860

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## Involvement of tau protein kinase in amyloid-betainduced neurodegeneration

Ishiguro, K

Mitsubishi Kasei Institute of Life Sciences, Machida

## This Document

Abstract-MEDLINE

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## Abstract

Histopathological features of Alzheimer's disease (AD) include extracellular deposits of amyloid beta (A beta) fibrils in the cores of senile plaques, intracellular neurofibrillary tangles (NFT) which are composed of paired helical filaments (PHF), and neuronal cell loss. The main component of PHF is highly phosphorylated tau protein. We identified a protein kinase converting normal tau into a PHF-like state. The kinase is **4tau protein kinase (TPK)** ▶ I/glycogen synthase kinase (GSK)-3 beta. Using a neuronal cell culture system as an AD model, it was recognized that **⟨TPK⟩** I/GSK-3 beta plays a central role in AD pathology. We hypothesize that A beta-induced neuronal cell death occurs by the following mechanism. A beta inactivates PI3-kinase and activates **⟨TPK⟩** I/GSK-3 beta, which in turn phosphorylates and inactivates both tau and pyruvate dehydrogenase (PDH). After the ability of tau to promote microtubule assembly is diminished by phosphorylation, soluble tau molecules aggregate into PHF by an unknown mechanism. Destabilization of microtubule arrays causes inhibition of axonal transport and accumulation of amyloid precursor protein (APP). Phosphorylation of PDH inhibits the reaction converting pyruvate to acetyl-CoA, resulting in inhibition of energy metabolism and a decrease in acetylcholine, both of which are also characteristics of AD. These changes may lead to neuronal cell death. [Journal Article, Review; 20 Refs; In Japanese; Japan; MEDLINE]

CAS Registry Numbers: Amyloid beta-Protein; EC 2.7.1.135, \tau-protein kinase; \times EC 2.7.1.37, Protein-Serine-Threonine Kinases

Citation Subset Indicators: Index Medicus

MeSH Terms: {Alzheimer} Disease, \* pathology (PA); Amyloid beta-Protein, \* pharmacology (PD); Animals; Cell Death; English Abstract; \* Nerve Degeneration; Protein-Serine-Threonine Kinases, \* physiology (PH); Rats

Rinsho Byori. The Japanese Journal Of Clinical Pathology

Volume 46, Issue 10, October 1998, Pages 1003-1007

ISSN: 0047-1860

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**Neurobiology Of Aging** 

Volume 19, Issue 1, Supplement , January - February 1998, Pages S93-S98

**ISSN:** 0197-4580

**MEDLINE®** 

# Possible role of tau protein kinases in pathogenesis of Alzheimer's disease

Imahori, K; Hoshi, M; Ishiguro, K; Sato, K; Takahashi, M; Shiurba, R; Yamaguchi, H; Takashima, A; Uchida, T

Mitsubishi Kasei Institute of Life Sciences, Machida, Tokyo, Japan; e-mail scrtry@libra.ls.m-kagaku.co.jp

## **This Document**

results list revious 43 of 73

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- Export Citation

## **Abstract**

**Tau protein kinases (TPK)** I and II were isolated as candidate enzymes responsible for the hyperphosphorylation observed in PHF-tau. Four phosphorylation sites of tau were identified for each kinase, accounting for most, but not all, of the major phosphorylation sites of PHF-tau. Immunostaining with anti-TPKI antibody indicated that this kinase is upregulated in AD brain. Such up-regulation of TPKI and phosphorylation of tau were reproduced by treating cultured hippocampal cells with amyloid beta (Abeta) protein. In addition, we found that TPKI can phosphorylate and inactivate pyruvate dehydrogenase (PDH), which is expected to result in depletion of acetyl-CoA, a key substrate of acetyl choline synthesis. Indeed, when septum cells were treated with Abeta, the level of acetyl choline decreased dramatically. [Journal Article, Review; 23 Refs; In English; United States; MEDLINE]

CAS Registry Numbers: EC 2.7.1.-, ∢tau protein kinase II; EC 2.7.1.135, ∢tau-protein kinase; EC 2.7.1.37, Protein-Serine-Threonine Kinases

Citation Subset Indicators: Index Medicus

MeSH Terms: {Alzheimer} Disease, \* enzymology (EN), metabolism (ME), \* pathology

(PA); Humans; Phosphorylation; Protein-Serine-Threonine Kinases, biosynthesis (BI), \* metabolism (ME)

## **Neurobiology Of Aging**

Volume 19, Issue 1, Supplement , January - February 1998, Pages S93-S98

ISSN: 0197-4580

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```
C:\Program Files\Stnexp\Queries\09787426.str
```

```
7 8 11 13
ring nodes :
   1 2 3 4 5 6
ring/chain nodes :
   14
chain bonds :
   1-11 5-7 11-13 13-14
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
   1-2 1-6 1-11 2-3 3-4 4-5 5-6 5-7 11-13 13-14
isolated ring systems :
   containing 1 :
G1:C,S,N
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 10:CLASS 11:CLASS
   13:CLASS 14:CLASS
Generic attributes :
   8:
   Saturation
                         : Unsaturated
   Number of Carbon Atoms : less than 7
   Number of Hetero Atoms : less than 2
   Type of Ring System : Monocyclic
Element Count :
   Node 8: Limited
```

chain nodes :

C,C5 N,N1 0,00 S,S0

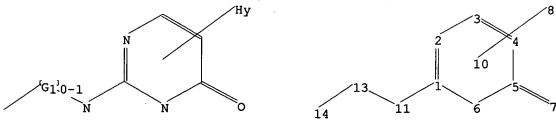
```
C:\Program Files\Stnexp\Queries\09787426 (sub).st
```

```
7 10 11
ring nodes :
   1 2 3 4 5 6
chain bonds :
   4-10 5-7 10-11
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 5-7 10-11
exact bonds :
   4-10
isolated ring systems :
   containing 1 :
G1:C,S,N
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 10:CLASS 11:Atom
```

chain nodes :

=>

Uploading C:\Program Files\Stnexp\Queries\09787426.str



```
chain nodes :
7  8  11  13
ring nodes :
1  2  3  4  5  6
ring/chain nodes :
14
chain bonds :
1-11  5-7  11-13  13-14
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-2  1-6  1-11  2-3  3-4  4-5  5-6  5-7  11-13  13-14
isolated ring systems :
containing 1 :
```

### G1:C,S,N

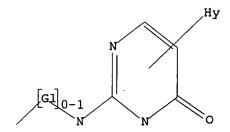
```
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 10:CLASS 11:CLASS 13:CLASS 14:CLASS
Generic attributes:
8:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
```

Number of Carbon Atoms: less than 7
Number of Hetero Atoms: less than 2
Type of Ring System: Monocyclic

Element Count:
Node 8: Limited
C,C5
N,N1
O,O0
S,S0

## L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR



G1 C,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 11:12:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6815 TO ITERATE

29.3% PROCESSED

2000 ITERATIONS

5 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

131351 TO 141249

PROJECTED ANSWERS: 93 TO 587

L2

5 SEA SSS SAM L1

=> => s l1 sss ful

FULL SEARCH INITIATED 11:13:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 135798 TO ITERATE

100.0% PROCESSED 135798 ITERATIONS

443 ANSWERS

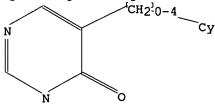
SEARCH TIME: 00.00.05

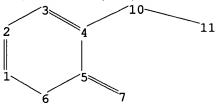
L3

443 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\09787426 (sub).str





chain nodes :
7 10 11
ring nodes :
1 2 3 4 5 6
chain bonds :
4-10 5-7 10-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 10-11

exact bonds :

4-10

isolated ring systems :

containing 1 :

G1:C,S,N

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 10:CLASS 11:Atom

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR

G1 C,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s 14 sub=13 sss sam

SAMPLE SUBSET SEARCH INITIATED 11:14:50 FILE 'REGISTRY'

SAMPLE SUBSET SCREEN SEARCH COMPLETED - 20 TO ITERATE

100.0% PROCESSED 20 ITERATIONS 8 ANSWERS

SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE \*\*COMPLETE\*\*

PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 132 TO 668
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 8 TO 329

L5 8 SEA SUB=L3 SSS SAM L4

=> => s 14 sub=13 sss ful

FULL SUBSET SEARCH INITIATED 11:15:35 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 443 TO ITERATE

100.0% PROCESSED 443 ITERATIONS 218 ANSWERS SEARCH TIME: 00.00.01

L6 218 SEA SUB=L3 SSS FUL L4

=> s 13 not 16

L7 225 L3 NOT L6

=> => s 17

L8 18 L7

=> d 18 1-18 bib,ab,hitstr

```
ANSWER 1 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
L8
     2005:902688 CAPLUS
ΑN
DN
     143:248403
ΤI
     Preparation of 2-aminopyrimidinones for inhibiting hYAK3 proteins
     Hasegawa, Masaichi; Takada, Mio; Washio, Yoshiaki
IN
PA
     Smithkline Beecham Corporation, USA
SO
     PCT Int. Appl., 85 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                          KIND
                                              APPLICATION NO.
                                                                       DATE
     ______
                                              -----
PΙ
     WO 2005076854
                           A2
                                 20050825
                                              WO 2005-US2972
                                                                       20050203
                           A3
                                 20051222
     WO 2005076854
         W: AE, AG, AL, AM, AT, AU, AZ,/BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, JL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
PRAI US 2004-542090P
                           Ρ
                                 20040204
OS
     MARPAT 143:248403
AB
     The title compds. I [R1 = quinolinyl, benzodioxolanyl, benzimidazolyl,
     etc.; R2 = pyridyl, benzimidazolyl, indazolyl, etc.], useful for
     inhibiting hYAK3 proteins, were prepared E.g., a multi-step synthesis of I
     [R1 = quinolin-6-y1; R2 = (CH2)2NH2], starting from 2,4,6-
     trichloropyrimidine and 6-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-
     yl)quinoline, was given. The compds. I were tested for their ability to
     inhibit the hYAK3 kinase (specific data were given for representative
     compds. I). The pharmaceutical composition comprising the compound I and
methods
     for treating diseases associated with the imbalance or inappropriate activity
     of hYAK3 proteins by administering an ED of compound I were disclosed.
IT
     263244-38-8P 263244-39-9P 863328-08-9P
     863328-09-0P 863328-11-4P 863328-13-6P
     863328-14-7P 863328-15-8P 863328-16-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of 2-aminopyrimidinones for inhibiting hYAK3 proteins)
RN
     263244-38-8 CAPLUS
CN
     4(1H)-Pyrimidinone, 2-(phenylamino)-6-(4-pyridinyl)- (9CI)
                                                                     (CA INDEX
     NAME)
```

RN 263244-39-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-methoxyphenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 863328-08-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(2-chlorophenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 863328-09-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(1H-indazol-6-ylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 863328-11-4 CAPLUS

CN Benzenesulfonamide, 3-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

RN 863328-13-6 CAPLUS

CN Benzoic acid, 3-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 863328-14-7 CAPLUS

CN Benzenesulfonamide, 4-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \circ \\
 & \circ \\$$

RN 863328-15-8 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-(4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 863328-16-9 CAPLUS

CN Acetamide, N-[3-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

```
ANSWER 2 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
L8
AN
         2005:78231 CAPLUS
DN
         142:155972
ΤI
         A preparation of heterocyclic compounds, useful for the treatment of
         diseases associated with TNF-\alpha, IL-1\beta, IL-6, and COX-1/COX-2
IN
         Dominguez, Celia; Harvey, Timothy Scot; Liu, Longbin; Siegmund, Aaron
PA
         Amgen Inc., USA
         U.S. Pat. Appl. Publ., 28 pp.
SO
         CODEN: USXXCO
         Patent
DT
         English
LA
FAN.CNT 1
                                                         DATE
         PATENT NO.
                                            KIND
                                                                             APPLICATION NO.
                                                                                                                      DATE
         ______
        US 2005020592
                                                        20050127
PΤ
                                             A1
                                                                             US 2004-897884
                                                                                                                      20040723
        AU 2004261587
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                                                        20050210
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                                                        20050210
                                                                             CA 2004-2533684
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        WO 2005012286
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                                                        20050210
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                                                                                                                      20040723
                      AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                      CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
                      LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
                      NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
                      TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
               RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
                      AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
                      SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
                      SN, TD, TG
PRAI US 2003-490312P
                                             P
                                                        20030725
         WO 2004-US23687
                                             W
                                                        20040723
        MARPAT 142:155972
         The invention relates to a preparation of heterocyclic compds. of formula I
         [wherein: Y is (un)saturated 5-7-membered ring containing 0-3 heteroatoms
selected
         from N, O, or S; R1 is aryl or heterocyclic ring; R2 is substituted alkyl;
         R3 is NO2, NH(alkyl), or NHC(O)-alkyl, etc.; X, W, and V are independently
         selected from N, C(O), C(:S), or CH, etc.], useful for the treatment of
         diseases associated with TNF-\alpha, IL-1\beta, IL-6, and COX-1/COX-2 (no
        biol. data). The invention compds. are useful for the treatment of
         inflammation, rheumatoid arthritis, Pagets disease, osteoporosis, multiple
        myeloma, acute or chronic myelogenous leukemia, type I diabetes, type II
         diabetes, bone resorption diseases, Alzheimer's disease, stroke,
         myocardial infarction, ischemia reperfusion injury, fever, myalgias due to
         HIV-1, HIV-2, HIV-3, cytomegalovirus (CMV), and influenza, etc.
         instance, pyrimidine derivative II was prepared via amination of
         3-methyl-2-methylsulfanyl-5-nitro-6-(pyridin-4-yl)-3H-pyrimidin-4-one by
         (S)-3-phenylpropane-1,2-diamine and subsequent reduction of the nitro-group.
ΙT
         831231-64-2P
         RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
         preparation); THU (Therapeutic use); BIOL (Biological study); PREP
         (Preparation); RACT (Reactant or reagent); USES (Uses)
              (preparation of heterocyclic compds. useful for the treatment of disease
              associated with TNF-\alpha, IL-1, IL-6, and COX-1/COX-2)
RN
         831231-64-2 CAPLUS
CN
         Carbamic acid, [(1S)-1-[[[5-amino-1,6-dihydro-1-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(4-methyl-6-oxo-4-(
         pyridinyl)-2-pyrimidinyl]amino]methyl]-2-phenylethyl]-, 1,1-dimethylethyl
         ester (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

IT 831231-62-0P 831231-69-7P 831231-70-0P 831231-71-1P 831231-72-2P 831231-73-3P 831231-74-4P 831231-78-8P 831232-00-9P

831232-02-1P 831232-03-2P 831232-05-4P

831232-06-5P 831232-07-6P

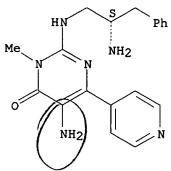
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. useful for the treatment of disease associated with  $TNF-\alpha$ , IL-1, IL-6, and COX-1/COX-2)

RN 831231-62-0 CAPLUS

CN 4(3H)-Pyrimidinone, 5-amino-2-[[(2S)-2-amino-3-phenylpropyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831231-69-7 CAPLUS

CN Benzamide, N-[2-[[(2S)-2-amino-3-phenylpropyl]amino]-1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 831231-70-0 CAPLUS

CN Carbamic acid, [(1S)-1-[[[5-(acetylamino)-1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 831231-71-1 CAPLUS

CN Carbamic acid, [(1S)-1-[[[1,6-dihydro-1-methyl-6-oxo-5-[(phenylsulfonyl)amino]-4-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]-2phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 831231-72-2 CAPLUS

CN Carbamic acid, [(1S)-1-[[[1,6-dihydro-1-methyl-6-oxo-5-[[(phenylamino)carbonyl]amino]-4-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 831231-73-3 CAPLUS

CN Carbamic acid, [(1S)-1-[[[1,6-dihydro-1-methyl-5-[(methylsulfonyl)amino]-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]-2-phenylethyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 831231-74-4 CAPLUS
CN Carbamic acid, [(1S)-1-[[[1,6-dihydro-1-methyl-6-oxo-5[[(phenylmethoxy)carbonyl]amino]-4-(4-pyridinyl)-2pyrimidinyl]amino]methyl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

RN 831231-78-8 CAPLUS

CN Carbamic acid, [(1S)-1-[[[1,6-dihydro-1-methyl-6-oxo-5-[(phenylmethyl)amino]-4-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]-2phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 831232-00-9 CAPLUS

CN Acetamide, N-[2-[[(2S)-2-amino-3-phenylpropyl]amino]-1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 831232-02-1 CAPLUS

CN Benzenesulfonamide, N-[2-[[(2S)-2-amino-3-phenylpropyl]amino]-1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 831232-03-2 CAPLUS

CN Carbamic acid, [2-[[(2S)-2-amino-3-phenylpropyl]amino]-1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-5-pyrimidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 831232-05-4 CAPLUS

CN Urea, N-[2-[[(2S)-2-amino-3-phenylpropyl]amino]-1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-5-pyrimidinyl]-N'-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 831232-06-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[(2S)-2-amino-3-phenylpropyl]amino]-3-methyl-5-[(phenylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 831232-07-6 CAPLUS

CN Methanesulfonamide, N-[2-[[(2S)-2-amino-3-phenylpropyl]amino]-1,6-dihydro-

1-methyl-6-oxo-4-(4-pyridinyl)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## IT 831231-61-9P 831231-63-1P 831231-92-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic compds. useful for the treatment of disease associated with  $TNF-\alpha$ , IL-1, IL-6, and COX-1/COX-2)

RN 831231-61-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[(2S)-2-amino-3-phenylpropyl]amino]-3-methyl-5-nitro-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 831231-63-1 CAPLUS

CN Carbamic acid, [(1S)-1-[[[1,6-dihydro-1-methyl-5-nitro-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 831231-92-6 CAPLUS

CN Benzoic acid, 2-bromo-6-[[[2-[[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-phenylpropyl]amino]-1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-5-pyrimidinyl]imino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

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ANSWER 3 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
L8
ΑN
      2003:356440 CAPLUS
      138:368904
DN
ΤI
      Preparation of 3-substituted 4-pyrimidones as tau protein kinase 1
      inhibitors
      Uehara, Fumiaki; Aritomo, Keiichi; Shoda, Aya; Hiki, Shinsuke; Okuyama,
IN
      Masahiro; Usui, Yoshihiro; Ooizumi, Mitsuru; Watanabe, Kazutoshi;
      Yamakoshi, Koichi
     Mitsubishi Pharma Corporation, Japan; Sanofi-Synthelabo
PA
      PCT Int. Appl., 153 pp.
SO
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DT
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      English
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               PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
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OS
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AB
      The title compds. [I; R1 = (un)substituted alkyl; R = NHCR2R3COR4, II-IV
      (wherein R2, R3 = H, alkyl; R4 = (un)substituted Ph, naphthyl, indanyl,
      etc.; R5 = (un)substituted alkyl, cycloalkyl, Ph, etc.; R6 = H,
      (un) substituted alkyl, Ph; or R5 and R6 may bind to each other to form
      spiro carbocylic ring having 3-11 ring-constituting atoms in total; R7, R8
      = H, alkyl; or R7 and R8 may combine to each other to form alkylene; R9
      and R10 = (un)substituted alkyl, cycloalkyl, Ph, etc.; X = CH2, O, NR13;
      R13 = H, alkyl)], useful for preventive or therapeutic treatment of a
      disease caused by tau protein kinase 1 hyperactivity (e.g. Alzheimer's
      disease), were prepared and formulated. Thus, reacting 2-amino-1-
      phenylethanone. HCl with 2-chloro-3-methyl-6-(4-pyridyl)pyrimidine-4-one
      (preparation given) in the presence of DMAP and Et3N in DMSO afforded 68% V
```

which showed IC50 of 8.9 nM against P-GS1 phosphorylation by bovine

cerebral TPK1.

IT 521967-13-5P 521967-14-6P 521967-15-7P 521967-16-8P 521967-17-9P 521967-18-0P

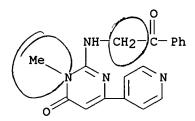
521967-19-1P 521969-49-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-substituted 4-pyrimidones as tau protein kinase 1 inhibitors)

RN 521967-13-5 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[(2-oxo-2-phenylethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 521967-14-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(2-fluorophenyl)-2-oxoethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 521967-15-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(3-fluorophenyl)-2-oxoethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 521967-16-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(4-fluorophenyl)-2-oxoethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 521967-17-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(3-chlorophenyl)-2-oxoethyl]amino]-3-methyl-6-(4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

### HCl

RN 521967-18-0 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(4-bromophenyl)-2-oxoethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 521967-19-1 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[[2-(3-methylphenyl)-2-oxoethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\stackrel{\text{N}}{ } \stackrel{\text{N}}{ } \stackrel{\text{N}}{$$

RN 521969-49-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(3-chlorophenyl)-2-oxoethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

### 09/787,426

L8 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:201429 CAPLUS

DN 138:4569

TI Solid phase synthesis of structurally diverse tetra substituted pyrimidines for potential use in combinatorial chemistry

AU Chauhan, P. M. S.; Kumar, Arun

CS Medicinal Chemistry Division, Central Drug Research Institute, Lucknow, 226001, India

SO Combinatorial Chemistry and High Throughput Screening (2002), 5(1), 93-95 CODEN: CCHSFU; ISSN: 1386-2073

PB Bentham Science Publishers

DT Journal

LA English

OS CASREACT 138:4569

AB A new pyrimidine based scaffold has been identified for generation of combinatorial libraries using solid phase technique. The utility of the scaffolds was demonstrated by synthesizing small libraries of 12 substituted pyrimidines I (Ar = 4-ClC6H4, 3-BrC6H4, 2-HO-5-BrC6H3, 4-HOC6H4, etc.).

IT 476436-93-8P 476436-94-9P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(solid phase synthesis of a tetra-substituted pyrimidine library via cyclocondensation reaction of resin bound thiourea with Et cyanoacetate and arylaldehydes)

RN 476436-93-8 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-(butylamino)-1,4-dihydro-4-oxo-6-(3-pyridinyl)-(9CI) (CA INDEX NAME)

RN 476436-94-9 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-(butylamino)-1,4-dihydro-4-oxo-6-(2-pyridinyl)-(9CI) (CA INDEX NAME)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2002:116972 CAPLUS
- DN 137:125132
- TI Syntheses of novel antimycobacterial combinatorial libraries of structurally diverse substituted pyrimidines by three-component solid-phase reactions
- AU Kumar, Arun; Sinha, Sudhir; Chauhan, Prem M, S.
- CS Medicinal Chemistry Division, Central Drug Research Institute, U.P., Lucknow, 226001, India
- SO Bioorganic & Medicinal Chemistry Letters (2002), 12(4), 667-669 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- OS CASREACT 137:125132
- AB A new pyrimidine based scaffold has been developed by three-component solid-phase syntheses. The utility of scaffolds was demonstrated by synthesizing libraries of 80 substituted pyrimidines. Among 80 compds. screened, six compds. showed in vitro activity against Mycobacterium tuberculosis (MABA) at a concentration of 50 and 25 µg/mL.
- IT 443970-98-7P 443970-99-8P 443971-00-4P
  RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation) (preparation of antimycobacterial combinatorial libraries of pyrimidines by three-component solid-phase reactions)
- RN 443970-98-7 CAPLUS
- CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-2-(octylamino)-4-oxo-6-(3-pyridinyl)-(9CI) (CA INDEX NAME)

- RN 443970-99-8 CAPLUS
- CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-4-oxo-2-(propylamino)-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

- RN 443971-00-4 CAPLUS
- CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-2-[[2-(4-morpholinyl)ethyl]amino]-4-oxo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

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RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L8
     ANSWER 6 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
     2001:713340 CAPLUS
AN
DN
     135:272981
ΤI
     Preparation of 2-(arylalkylamino)pyrimidones and 2-
     (heteroarylalkylamino)pyrimidones for preventive and/or therapeutic
     treatment of a neurodegenerative disease caused by abnormal activity of
     GSK3B
IN
     Almario Garcia, Antonio; Ando, Ryoichi; Aritomo, Keiichi; Frost, Jonathan
     Reid; Li, Adrien Tak; Shoda, Aya; Uehara, Fumiaki; Watanabe, Kazutoshi
     Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.
PA
     PCT Int. Appl., 57 pp.
SO
     CODEN: PIXXD2
DΤ
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     English
FAN.CNT 4
     PATENT NO.
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                                 DATÈ
                                             APPLICATION NO.
                                                                     DATE
                                 20010927
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     WO 2001070727
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                                             WO 2001-EP3638
                                                                     20010322
         W: AE, AG, AL, AM,
                             AT, AU, AZ,
                                         BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, TS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             A1 // 20010926 \ EP 2000-400804 20000323
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     EP 1136484
             IE, SI, LT, LV
                             FI, RO
                                20010926 /
     EP 1136099
                                            EP 2000-400805
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             IE, SI, LT, LV,
                             \FI, RO
                                20010926
                                             EP 2000-400806
     EP 1136491
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                                20011003
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                          Α
     WO 2001-EP3638
                          W
                                20010322
os
    MARPAT 135:272981
AΒ
     The title compds. [I; R2 = H, perhalogenated alkyl, (un)substituted alkyl;
     R3 = 2-, 3- or 4-pyridyl optionally substituted by alkyl, alkoxy or a
     halogen; and when n = 1-10, the R1 = unsubstituted naphth-1-y1,
     unsubstituted naphth-2-yl, aryl, etc.; when n = 4-10 then R1 can represent
     in addition an unsubstituted Ph; and when n = 1-3 and R1 = unsubstituted Ph
     then R2 = perhalogenated alkyl or substituted alkyl] and their
    pharmaceutically acceptable salts which are used for preventive and/or
     therapeutic treatment of a neurodegenerative diseases caused by abnormal
     activity of GSK3\beta, were prepared and formulated. The compds. I were
     synthesized by reacting Et 3-(4-pyridyl)-3-oxopropionate (preparation given)
     with R1(CH2)nNR2C(:NH)NH2 or by reacting 2-(methylthio)-6-(pyridin-4-
     yl)pyrimidin-4(1H)-one (preparation given) with R1(CH2)nNHR2. The compds. I
     such as I [R1 = 3, 4-(MeO) 2C6H3; R2 = H; R3 = 4-pyridyl] showed IC50's of
     0.01-10 μM against GSK3β.
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IT
     361484-66-4P 361484-67-5P 361484-68-6P
     361542-10-1P 361542-11-2P 361542-12-3P
     361542-13-4P 361542-14-5P 361542-15-6P
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     361542-37-2P 361542-38-3P 361542-39-4P
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     362601-56-7P 362601-58-9P 362601-59-0P
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     362601-64-7P 362601-65-8P 362601-67-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of 2-(arylalkylamino)pyrimidones and 2-
        (heteroarylalkylamino)pyrimidones for preventive and/or therapeutic
        treatment of a neurodegenerative disease caused by abnormal activity of
        GSK3B)
RN
     361484-66-4 CAPLUS
CN
     4(1H)-Pyrimidinone, 2-[(3-furanylmethyl)amino]-6-(4-pyridinyl)- (9CI)
```

INDEX NAME)

RN 361484-67-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(1H-imidazol-1-yl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361484-68-6 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(2-thienyl)ethyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} S & CH_2-CH_2-NH & H \\ \hline & N \\ \hline & O \\ \end{array}$$

RN 361542-10-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(3,4-dimethoxyphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-11-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-12-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ N \\ N \\ H \end{array} \text{ NH- CH}_2\text{--CH}_2 \\ \end{array}$$

RN 361542-13-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\bigcap_{N} \bigcap_{N} \bigcap_{NH-CH_2-CH_2} \bigcap_{OMe}$$

RN 361542-14-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)(9CI) (CA INDEX NAME)

RN 361542-15-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-fluorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-16-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3-fluorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 361542-17-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-fluorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\
 & N \\
 & N \\
 & H
\end{array}$$

$$\begin{array}{c}
 & N \\
 & N \\
 & N \\
 & H
\end{array}$$

$$\begin{array}{c}
 & O \\
 & N \\
 &$$

RN 361542-18-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-bromophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-19-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2,4-dichlorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & \\ N & & \\ N & & \\ NH-CH_2-CH_2 \\ & & \\ C1 & & \\ \end{array}$$

RN 361542-20-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-chlorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{C1} \\
 & \text{N} \\
 & \text{NH-CH}_2\text{-CH}_2
\end{array}$$

RN 361542-21-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-chlorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-22-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-nitrophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\$$

RN 361542-23-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-aminophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{N} \\
 & \text{N$$

RN 361542-24-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ \hline \\ N \\ H \\ \end{array} \text{NH-CH}_2\text{-CH}_2 \\ \hline \end{array}$$

RN 361542-25-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & OMe \\ \hline N & NH-CH_2-CH_2 \\ \hline OMe \\ OMe \\ \end{array}$$

RN 361542-26-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-hydroxyphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-27-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-methylphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-28-1 CAPLUS

CN Benzenesulfonamide, 4-[2-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 361542-29-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3-chlorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-30-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(4-phenylbutyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

 $Ph-(CH_2)_4-NH$ 

RN 361542-31-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(2-[1,1'-biphenyl]-4-ylethyl)amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-32-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-naphthalenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$CH_2-CH_2-NH$$

RN 361542-33-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ &$$

### ●2 HC1

RN 361542-34-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & \\ N & & \\ H & & \\ \end{array}$$

#### ● 2 HCl

RN 361542-35-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(3-methylphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-36-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(4-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-37-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(4-fluorophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-38-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(2-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-39-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(4-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-40-7 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[4-(trifluoromethyl)phenyl]methyl] amino]- (9CI) (CA INDEX NAME)

RN 361542-41-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\$$

●2 HC1

RN 361542-42-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(3-nitrophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-43-0 CAPLUS

CN 4(1H)-Pyrimidinone. 2-[[(2-aminophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-44-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(2-methylphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-45-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(4-methylphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\$$

RN 361542-46-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(2-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-47-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(3-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-48-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(3-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-49-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(4-aminophenyl)methyl]amino]-6-(4-pyridinyl)(9CI) (CA INDEX NAME)

RN 361542-50-9 CAPLUS

CN Acetamide, N-[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 361542-51-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\
 & O \\$$

#### ●2 HCl

RN 361542-52-1 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(2-pyridinylmethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 361542-54-3 CAPLUS

CN Carbamic acid, [[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 361542-55-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(3-aminophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-56-5 CAPLUS

CN Benzamide, N-[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 361542-57-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \bullet & \bullet & \bullet \\ \hline & N & \bullet & \bullet \\ N & \bullet & \bullet$$

●2 HCl

RN 361542-58-7 CAPLUS

CN Methanesulfonamide, N-[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\$$

RN 361542-59-8 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[(2-pyrimidinylamino)methyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 361542-60-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-[(butylamino)methyl]phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 361542-61-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

#### •2 HCl

RN 361542-62-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(4-aminobutoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

#### ●2 HCl

RN 361542-63-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-methylphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-64-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3-methylphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-65-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(4-methylphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-66-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-67-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-68-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(4-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)(9CI) (CA INDEX NAME)

RN 361542-69-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-70-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-71-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(4-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-72-5 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[3-(4-pyridinyl)propoxy]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 361542-73-6 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(3-pyridinylmethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 361542-75-8 CAPLUS

CN Carbamic acid, [[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]methylamino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{Me} & \text{O} \\
 & \text{N} & \text{Me} & \text{O} \\
 & \text{N} & \text{N-CH}_2 & \text{CH}_2 - \text{NH-C-OBu-t}
\end{array}$$

RN 361542-76-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]methylamino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 361542-77-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3,4-dimethoxyphenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-78-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-[1,1'-biphenyl]-4-ylpropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-79-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-80-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-82-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-84-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-85-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-[(butylamino)methyl]phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-86-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\$$

RN 361542-87-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(4-aminobutoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-89-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362048-04-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$CH_2-CH_2-NH$$

RN 362048-06-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(5-methoxy-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ \end{array}$$
 
$$CH_2 - CH_2 - NH - \begin{array}{c} H \\ N \\ \end{array}$$

RN 362048-07-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(5-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ CH_2-CH_2-NH \\ N \\ O \\ \end{array}$$

RN 362048-08-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-[5-(phenylmethoxy)-1H-indol-3-yl]ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Ph-} & \text{CH}_2\text{--} & \text{CH}_2\text{---} & \text{NH} & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & &$$

RN 362048-09-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-methoxy-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO} & \overset{H}{\overset{}_{N}} \\ \hline \\ \text{CH}_2 - \text{CH}_2 - \text{NH} \\ \hline \\ \text{N} \\ \hline \\ \\ \text{O} \\ \end{array}$$

RN 362048-10-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-fluoro-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & H \\ \hline & N \\ \hline & CH_2 - CH_2 - NH \\ \hline & N \\ \hline & O \\ \end{array}$$

RN 362048-12-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & Me & H \\ \hline \\ CH_2-CH_2-N & \hline \\ N & N \\ \hline \\ O & \\ \end{array}$$

RN 362048-13-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & Me \\ \hline & CH_2-CH_2-NH & H & N \\ \hline & N & N & N \\ \hline & O & N & N \\ \hline \end{array}$$

RN 362048-14-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ N \\ \hline \\ CH_2-CH_2-NH \\ \hline \\ N \\ \hline \\ O \\ \end{array}$$

RN 362601-30-7 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(3-pyridinylmethoxy)phenyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

#### ●2 HCl

RN 362601-35-2 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(2-pyridinylmethoxy)phenyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

## •2 HCl

RN 362601-36-3 CAPLUS

CN Acetamide, N-[4-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl](2-phenylethyl)amino]butyl]- (9CI) (CA INDEX NAME)

RN 362601-37-4 CAPLUS

CN Methanesulfonamide, N-[4-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl](2-phenylethyl)amino]butyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Ph-CH}_2\text{-CH}_2 & \text{O} \\ & \text{N-(CH}_2)_4\text{-NH-S-Me} \\ & \text{N} & \text{NH} & \text{N} \\ & \text{O} & \\ \end{array}$$

RN 362601-38-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-methoxyphenyl)ethyl](phenylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362601-39-6 CAPLUS

CN Carbamic acid, [4-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl](2-phenylethyl)amino]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 362601-41-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(4-aminobutyl)(2-phenylethyl)amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 362601-42-1 CAPLUS

CN Carbamic acid, [4-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl][2-(2-methoxyphenyl)ethyl]amino]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 362601-43-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(4-aminobutyl)[2-(2-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

#### ●2 HCl

RN 362601-44-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(4-aminobutyl)(3-phenylpropyl)amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

### •2 HCl

RN 362601-45-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-naphthalenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$(CH_2)_3-NH$$
 $N$ 
 $N$ 

RN 362601-47-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[2-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

# •2 HCl

RN 362601-49-8 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[2-[3-(4-pyridinyl)propoxy]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 362601-50-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-phenylpropyl)(trifluoromethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362601-51-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 362048-04-2 CMF C19 H17 N5 O

$$CH_2-CH_2-NH$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 362601-52-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{H} \\ \hline & \text{N} \\ \hline & \text{CH}_2\text{-}\text{CH}_2\text{-}\text{NH} \\ \hline & \text{N} \\ \hline & \text{O} \\ \end{array}$$

RN 362601-54-5 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 362601-55-6 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 362601-56-7 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 362601-58-9 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(2-pyridinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

RN 362601-59-0 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(4-pyridinyl)ethyl]amino]- (9CI)

(CA INDEX NAME)

RN 362601-60-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[methyl[2-(2-pyridinyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{Me} \\
 & \text{N} & \text{Me} \\
 & \text{N} & \text{CH}_2 - \text{CH}_2 \\
 & \text{N} & \text{N}
\end{array}$$

RN 362601-61-4 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[3-(3-pyridinyl)propyl]amino]-(9CI) (CA INDEX NAME)

RN 362601-62-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(phenylmethyl)[2-(2-pyridinyl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362601-64-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(2-phenylethyl)(3-pyridinylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362601-65-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(2-phenylethyl)(2-pyridinylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362601-67-0 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(3-pyridinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 7 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
L8
     2001:709747 CAPLUS
ΑN
DN
     135:257262
ΤI
     Preparation of 2-[(heteroaryl)alkylamino]pyrimidones as GSK3ß
     inhibitors
IN
    Almario-Garcia, Antonio; Frost, Jonathan Reid; Li, Adrien-Tak
PA
     Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.
SO
     Eur. Pat. Appl., 12 pp.
     CODEN: EPXXDW
DT
     Patent
LΑ
     English
FAN.CNT 4
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
     _____
                                            -----
PΙ
    EP 1136491
                          A1
                                20010926
                                            EP 2000-400806
                                                                    20000323
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                                         GB, GR, IT, LI, LU, NL, SE, MC, PT
             IE, SI, LT, LV, FI, RO
                                20010927
                                            WO 2001-EP3638
    WO 2001070727
                          A1
                                                                    20010322
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             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    AU 2001048365
                          A5
                                20011003
                                           AU 2001-48365
PRAI EP 2000-400804
                          Α
                                20000323
     EP 2000-400805
                                20000323
                          Α
     EP 2000-400806
                                20000323
                          Α
     JP 2000-81938
                          Α
                                20000323
    WO 2001-EP3638
                                20010322
os
    MARPAT 135:257262
AB
    The title compds. [I; R1 = H, alkyl; R2 = (un) substituted furyl, thienyl,
    pyrrolyl or imidazolyl; R3 = 2-, 3- or 4-pyridyl optionally substituted by
     alkyl, alkoxy or halogen; n = 1-5] which are used for preventive and/or
     therapeutic treatment of a neurodegenerative disease caused by abnormal
     activity of GSK3β such as Alzheimer's disease, Parkinson's disease,
     frontoparietal dementia, corticobasal degeneration, Pick's disease,
     cerebrovascular accidents, brain and spinal trauma, and peripheral
    neuropathies, were prepared and formulated. Thus, reacting
     2-(methylthio)-6-(pyridin-4-yl)pyrimidin-4(1H)-one (preparation given) with
     3-furylmethylamine afforded I [R1 = H; R2 = 3-furyl; R3 = 4-pyridyl; n =

    The exemplified compds. I showed IC50's of 0.3-10 μM against

     GSK3B.
ΙT
     361484-66-4P 361484-67-5P 361484-68-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of 2-[(heteroaryl)alkylamino]pyrimidones as GSK3\beta
        inhibitors)
RN
     361484-66-4 CAPLUS
CN
     4(1H)-Pyrimidinone, 2-[(3-furanylmethyl)amino]-6-(4-pyridinyl)- (9CI)
```

INDEX NAME)

RN 361484-67-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(1H-imidazol-1-yl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361484-68-6 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(2-thienyl)ethyl]amino]- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L8
     ANSWER 8 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
AN
     2001:709744 CAPLUS
DN
     135:257260
ΤI
     Preparation of 2-[(indanylamino]pyrimidones and 2-
     [tetrahydronaphthalenylamino]pyrimidones as GSK3\beta inhibitors
     Almario-Garcia, Antonio; Frost, Jonathan Reid; Li, Adrien-Tak
IN
PA
     Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.
SO
     Eur. Pat. Appl., 12 pp.
     CODEN: EPXXDW
DΤ
     Patent
     English
LА
FAN.CNT 1
     PATENT NO.
                          KIND
                                 DATE
                                              APPLICATION NO.
                                                                      DATE
                                 20010926
                                             EP 2000-400808
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     EP 1136486
                           A1
                                                                      20000323
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     WO 2001070725
                                 20010927
                                             WO 2001-EP3636
                                                                      20010322
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                           A5
                                 20011003
                                             AU 2001-62149
                                                                      20010322
PRAI EP 2000-400808
                                 20000323
                           Α
     WO 2001-EP3636
                                 20010322
os
     MARPAT 135:257260
AΒ
     The title compds. [I; R1 = H, alkyl; R2 = H, alkyl, halo, etc.; R3 = 2-,
     3- or 4-pyridyl group optionally substituted by alkyl, alkoxy or a halogen
     atom; n = 0-1; when n = 0 then m = 2 or 3, and when n = 1 then m = 1 or 2]
     which is used for preventive and/or therapeutic treatment of a
     neurodegenerative disease caused by abnormal activity of GSK3\beta such
     as Alzheimer's disease, Parkinson's disease, frontoparietal dementia,
     corticobasal degeneration, Pick's disease, cerebrovascular accidents and
     brain and spinal trauma and peripheral neuropathies, were prepared and
     formulated. E.g., a 3-step synthesis of I [R1, R2 = H; R3 = 4-pyridyl; n,
     m = 1] which showed IC50 of 0.1 \muM against GSK3\beta, was given.
IT
     361458-95-9P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of 2-[(indanylamino]pyrimidones and 2-
        [tetrahydronaphthalenylamino]pyrimidones as GSK3β inhibitors)
RN
     361458-95-9 CAPLUS
CN
     4(1H)-Pyrimidinone, 2-[(2,3-dihydro-1H-inden-2-yl)amino]-6-(4-pyridinyl)-
     (9CI) (CA INDEX NAME)
```

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 9 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
L8
     2001:709742 CAPLUS
AN
DN
     135:257258
TI
     Preparation of 2-(arylalkylamino)pyrimidones as GSK3\beta inhibitors
     Almario-Garcia, Antonio; Frost, Jonathan Reid; Li, Adrien-Tak; Ando,
IN
     Ryoichi; Watanabe, Kazutoshi
PA
     Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.
     Eur. Pat. Appl., 24 pp.
SO
     CODEN: EPXXDW
     Patent
DΤ
     English
LΑ
FAN.CNT 4
                                   ĎATE
     PATENT NO.
                           KIND
                                                APPLICATION NO.
                                                                         DATE
                                   _____
PΙ
     EP 1136484
                                   20010926
                                                EP 2000-400804
                            A1
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              IE, SI, LT, LV, FI, RO
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                                                WO 2001-EP3638
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              VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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     AU 2001048365
                            A5
                                   20011003
                                               AU 2001-48365
                                                                         20010322
PRAI EP 2000-400804
                            Α
                                   20000323
     EP 2000-400805
                                   20000323
                            Α
     EP 2000-400806
                            Α
                                   20000323
     JP 2000-81938
                                   20000323
                            Α
     WO 2001-EP3638
                            W
                                   20010322
os
     MARPAT 135:257258
AB
     The title compds. [I; R1 = unsubstituted naphth-1-yl, unsubstituted
     naphth-2-yl, substituted aryl; when n = 4-5 then R1 can represent
     unsubstituted Ph; R2 = H, alkyl; R3 = 2-, 3- or 4-pyridyl optionally
     substituted by alkyl, alkoxy group or a halogen atom] which are used for
     preventive and/or therapeutic treatment of a neurodegenerative disease
     caused by abnormal activity of GSK3\beta, were prepared and formulated.
     The compds. I were prepared by reacting the propionate R3COCH2COOR with the
     amidine R1(CH2)nNR2C(:NH)NH2 or by reacting the pyrimidinone II with amine
     R1(CH2) nNHR2. All exemplified compds. I such as I [R1 = 3,4-(MeO)] 2C6H3;
     R2 = H; R3 = 4-pyridyl; n = 1] showed IC50 of 0.01-10 \muM against
ΙT
     361542-10-1P 361542-11-2P 361542-12-3P
     361542-13-4P 361542-14-5P 361542-15-6P
     361542-16-7P 361542-17-8P 361542-18-9P
     361542-19-0P 361542-20-3P 361542-21-4P
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     361542-25-8P 361542-26-9P 361542-27-0P
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     361542-31-6P 361542-32-7P 361542-33-8P
     361542-34-9P 361542-35-0P 361542-36-1P
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     361542-46-3P 361542-47-4P 361542-48-5P
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361542-55-4P 361542-56-5P 361542-57-6P
361542-58-7P 361542-59-8P 361542-60-1P
361542-61-2P 361542-62-3P 361542-63-4P
361542-64-5P 361542-65-6P 361542-66-7P
361542-67-8P 361542-68-9P 361542-69-0P
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361542-85-0P 361542-86-1P 361542-87-2P
361542-88-3P 361542-89-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (preparation of 2-(arylalkylamino)pyrimidones as GSK3\beta inhibitors)
361542-10-1 CAPLUS
4(1H)-Pyrimidinone, 2-[[(3,4-dimethoxyphenyl)methyl]amino]-6-(4-pyridinyl)-
 (9CI) (CA INDEX NAME)
```

RN

CN

RN 361542-11-2 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{picture}(20,0) \put(0,0){\line(0,0){100}} \put(0,0){\line(0,0){100$$

RN 361542-12-3 CAPLUS CN 4(1H)-Pyrimidinone, 2-[[2-(4-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ N \\ N \\ H \end{array}$$
 NH- CH<sub>2</sub>- CH<sub>2</sub>

RN 361542-13-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 361542-14-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-15-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-fluorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-16-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3-fluorophenyl)ethyl]amino]-6-(4-pyridinyl)(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\$$

RN 361542-17-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-fluorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \circ \\
 & N \\$$

RN 361542-18-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-bromophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-19-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2,4-dichlorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

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RN 361542-20-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-chlorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-21-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-chlorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ N & \\ N$$

RN 361542-22-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-nitrophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-23-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-aminophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{N} \\
 & \text{N$$

RN 361542-24-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ \text{N} \\ \text{N} \\ \text{H} \end{array} \text{NH-CH}_2\text{-CH}_2 \\ \end{array}$$

RN 361542-25-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-26-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-hydroxyphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-27-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-methylphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-28-1 CAPLUS

CN Benzenesulfonamide, 4-[2-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\
 & O \\$$

RN 361542-29-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3-chlorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-30-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(4-phenylbutyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-31-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(2-[1,1'-biphenyl]-4-ylethyl)amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-32-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-naphthalenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$CH_2-CH_2-NH$$
 $N$ 
 $N$ 

RN 361542-33-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

### ●2 HCl

RN 361542-34-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

### •2 HCl

RN 361542-35-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(3-methylphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-36-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(4-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-37-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(4-fluorophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-38-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(2-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-39-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(4-chlorophenyl)methyl]amino]-6-(4-pyridinyl)(9CI) (CA INDEX NAME)

RN 361542-40-7 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[4-(trifluoromethyl)phenyl]methyl] amino]- (9CI) (CA INDEX NAME)

RN 361542-41-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & (CH_2)_3 - NH_2 \\ \hline N & NH - CH_2 \end{array}$$

## ●2 HCl

RN 361542-42-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(3-nitrophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-43-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(2-aminophenyl)methyl]amino]-6-(4-pyridinyl)-

(9CI) (CA INDEX NAME)

RN 361542-44-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(2-methylphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-45-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(4-methylphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-46-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(2-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-47-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(3-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-48-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(3-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-49-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(4-aminophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-50-9 CAPLUS

CN Acetamide, N-[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 361542-51-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

#### •2 HCl

RN 361542-52-1 CAPLUS
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(2-pyridinylmethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 361542-53-2 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[3-(3-pyridinyl)propoxy]phenyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

# •2 HCl

RN 361542-54-3 CAPLUS

CN Carbamic acid, [[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 361542-55-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(3-aminophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-56-5 CAPLUS

CN Benzamide, N-[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 361542-57-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \bullet & \bullet & \bullet \\ \hline & N & \bullet & \bullet \\ N & \bullet & \bullet$$

●2 HCl

RN 361542-58-7 CAPLUS

CN Methanesulfonamide, N-[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-

pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
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N & & & & & & & & & \\
CH_2 - NH - S - Me \\
\vdots \\
O & & & & & & & \\
O & & & & & & \\
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\end{array}$$

RN 361542-59-8 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[(2-pyrimidinylamino)methyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 361542-60-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-[(butylamino)methyl]phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

●2 HC1

RN 361542-61-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

### ●2 HCl

RN 361542-62-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(4-aminobutoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

### ●2 HCl

RN 361542-63-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-methylphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-64-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3-methylphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-65-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(4-methylphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-66-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-67-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-68-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(4-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN361542-69-0 CAPLUS CN 4(1H)-Pyrimidinone, 2-[[3-(2-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

361542-70-3 CAPLUS RN 4(1H)-Pyrimidinone, 2-[[3-(3-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-CN (9CI) (CA INDEX NAME)

361542-71-4 CAPLUS RNCN

4(1H)-Pyrimidinone, 2-[[3-(4-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 361542-72-5 CAPLUS

4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[3-(4-CN pyridinyl)propoxy]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 361542-73-6 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(3-pyridinylmethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 361542-74-7 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[2-(2-pyridinyl)ethoxy]phenyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\$$

## ●2 HC1

RN 361542-75-8 CAPLUS

CN Carbamic acid, [[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]methylamino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{picture}(20,0) \put(0,0){\line(0,0){0.5ex}} \put(0,0){\line(0,0){0.5ex$$

RN 361542-76-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]methylamino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{N} & \text{Me} \\
 & \text{N} & \text{N} \\
 & \text{N} & \text{CH}_2 \\
\end{array}$$

$$\begin{array}{c|c}
 & \text{CH}_2 - \text{NH}_2
\end{array}$$

### ●2 HC1

RN 361542-77-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3,4-dimethoxyphenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ \text{N} \\ \text{NH- (CH}_2)_3 \end{array}$$

RN 361542-78-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-[1,1'-biphenyl]-4-ylpropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-79-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-80-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ N \\ N \\ H \end{array} \qquad NH-CH_2 \\ \begin{array}{c} CH_2-NH_2 \\ \end{array}$$

RN 361542-81-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-82-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-83-8 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[3-(3-pyridinyl)propoxy]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 361542-84-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ N \\ N \\ N \\ H \end{array} NH-CH_2 \\ \begin{array}{c} O-CH_2-CH_2-NH_2 \\ \end{array}$$

RN 361542-85-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-[(butylamino)methyl]phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-86-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ N \\ N \\ H \end{array} \text{ NH- CH}_2 \\ \begin{array}{c} O \\ O \\ CH_2 \\ CH_2 \\ - NH_2 \\ \end{array}$$

RN 361542-87-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(4-aminobutoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 361542-88-3 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[2-(2-pyridinyl)ethoxy]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ N \\ N \\ H \end{array} \qquad NH-CH_2 \\ O-CH_2-CH_2 \\ \hline N \\ \end{array}$$

RN 361542-89-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & Me \\
N & N \\
N & N \\
N & CH_2
\end{array}$$

$$\begin{array}{c|c}
CH_2 - NH_2
\end{array}$$

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 10 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
L8
     2001:709740 CAPLUS
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     135:257256
TI
     Preparation of 2-amino-3-alkyl-pyrimidones as GSK3β inhibitors
IN
     Almario-Garcia, Antonio; Frost, Jonathan Reid; Li, Adrien-Tak; Ando,
                                                                        Common gru
     Ryoichi; Watanabe, Kazutoshi
PA
     Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.
SO
     Eur. Pat. Appl., 20 pp.
     CODEN: EPXXDW
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     English
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         RU, SD, SE, SG, SI, SR, SL, IS, IM, IR, II, IZ, OA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 2001081487
                            A5
                                   20011003
                                              AU 2001-81487
                                                                          20010322
     EP 1276738
                            A1
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                                                                          20010322
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2003528095
                            T2
                                   20030924
                                                JP 2001-568932
                                                                          20010322
                                   20031002 US 2002-221598
20050118 4- ODP (Howolog).
     US 2003187004
                            A1
                                                                          20021202
     US 6844335
                            B2
     US 2005130998
                            A1
                                   20050616
                                                US 2005-35264
                                                                          20050113
PRAI EP 2000-400800
                            Α
                                   20000323
     WO 2001-EP3640
                                   20010322
                            W
     US 2002-221598
                            Α3
                                   20021202
OS
     MARPAT 135:257256
     The title compds. [I; R1 = H, alkyl; R2 = (un)substituted alkyl, alkenyl,
AB
     aryl, etc.; or R1 and R2 form together (un) substituted alkylene; or R1 and
     R2 form together (CH2)2X(CH2)2, (CH2)2X(CH2)3 (wherein X = O, S
     (un) substituted NH); R3 = 2-, 3- or 4-pyridyl group optionally substituted
     by alkyl alkoxy or halogen atom; R4 = alkyl optionally substituted by
     aryl], useful for preventive and/or therapeutic treatment of a
     neurodegenerative disease caused by abnormal activity of GSK3\beta such
     as Alzheimer's disease, Parkinson's disease, frontoparietal dementia,
     corticobasal degeneration, Pick's disease, cerebrovascular accidents,
     brain and spinal trauma, and peripheral neuropathies, were prepared and
     formulated. The compds. I synthesized by reacting 3-methyl-2-(methylthio)-
     6-pyridin-4-ylpyrimidin-4(3H)-one (preparation given) with the corresponding
     amine or by N-alkylation of the substituted 2-amino-3-methylpyrimidinone
     with alkyl iodide. The compds. I showed IC50's of 0.1-10 μM against
     GSK3β.
IT
     362013-60-3P 362013-61-4P 362013-62-5P
     362013-63-6P 362013-64-7P 362013-65-8P
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362013-66-9P 362013-67-0P 362013-68-1P

362013-69-2P 362013-70-5P 362013-71-6P 362013-72-7P 362013-73-8P 362013-74-9P 362013-75-0P 362013-76-1P 362013-77-2P 362013-78-3P 362013-79-4P 362013-80-7P 362013-81-8P 362013-82-9P 362013-83-0P 362013-84-1P 362013-85-2P 362013-86-3P 362013-87-4P 362013-88-5P 362013-89-6P 362013-90-9P 362013-91-0P 362013-92-1P 362013-93-2P 362013-94-3P 362013-95-4P 362013-96-5P 362013-97-6P 362013-98-7P 362014-02-6P 362014-03-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2-amino-3-alkyl-pyrimidones as  $GSK3\beta$  inhibitors) RN 362013-60-3 CAPLUS 4(3H)-Pyrimidinone, 3-methyl-2-[(2-phenylethyl)amino]-6-(4-pyridinyl)-CN (9CI) (CA INDEX NAME)

RN 362013-61-4 CAPLUS
CN 4(3H)-Pyrimidinone, 2-[[2-(4-methoxyphenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{picture}(20,10) \put(0,0){\line(0,0){100}} \put(0,0){\line(0,0){10$$

RN 362013-62-5 CAPLUS
CN 4(3H)-Pyrimidinone, 2-[[2-(3-methoxyphenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ &$$

RN 362013-63-6 CAPLUS
CN 4(3H)-Pyrimidinone, 2-[[2-(2-methoxyphenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-64-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(2-fluorophenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 362013-65-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(3-fluorophenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-66-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(4-fluorophenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{picture}(20,10) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){10$$

RN 362013-67-0 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(4-bromophenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-68-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(2-chlorophenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-69-2 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(2,4-dichlorophenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-70-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(4-aminophenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{Me} \\
 & \text{NH-} \text{CH}_2\text{-} \text{CH}_2
\end{array}$$

RN 362013-71-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{picture}(20,0) \put(0,0){\line(0,0){100}} \put(0,0){\line(0,0){100$$

RN 362013-72-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ \text{N} \\ \text{N} \\ \text{NH-} \\ \text{CH}_2 \\ \text{CH}_2 \\ \end{array}$$

RN 362013-73-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(4-chlorophenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-74-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(4-hydroxyphenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-75-0 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[[2-(4-methylphenyl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-76-1 CAPLUS

CN Benzenesulfonamide, 4-[2-[[1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 362013-77-2 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(3-chlorophenyl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-78-3 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[[2-(2-thienyl)ethyl]amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{S} \\ \text{CH}_2\text{-}\text{CH}_2\text{-}\text{NH} \\ \text{Me} \\ \text{O} \end{array}$$

RN 362013-79-4 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[(4-phenylbutyl)amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 362013-80-7 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[[2-[4-(phenylmethoxy)phenyl]ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-81-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[(2-[1,1'-biphenyl]-4-ylethyl)amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-82-9 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[(phenylmethyl)amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 362013-83-0 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[(2-methoxyphenyl)methyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-84-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(2,5-dimethoxyphenyl)ethyl]methylamino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-85-2 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-3-methyl-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

## ●2 HCl

RN 362013-86-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-3-methyl-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ &$$

•2 HCl

RN 362013-87-4 CAPLUS

CN 4(3H)-Pyrimidinone, 3-(phenylmethyl)-2-[(3-phenylpropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-88-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ CH_2-CH_2-NH \\ N \\ N \\ O \end{array}$$

RN 362013-89-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]amino]-3-methyl-6-(4-pyridinyl)-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 362013-88-5 CMF C20 H19 N5 O

$$\begin{array}{c|c} H \\ N \\ CH_2-CH_2-NH \\ N \\ N \\ O \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 362013-90-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[2-(5-methoxy-1H-indol-3-yl)ethyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ \end{array}$$

$$CH_2 - CH_2 - NH - N \\ Me \\ O \\ \end{array}$$

RN 362013-91-0 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[[2-[5-(phenylmethoxy)-1H-indol-3-yl]ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ \text{Ph-} & \text{CH}_2 - \text{O} \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 362013-92-1 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[[2-(7-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-93-2 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[[2-(1-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ N \\ \hline \\ CH_2-CH_2-NH \\ \hline \\ Me \\ \hline \\ N \\ \hline \\ O \\ \end{array}$$

RN 362013-94-3 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[methyl[2-(1-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} \\ \\ \\ \text{N} \\ \\ \text{CH}_2 - \text{CH}_2 - \text{N} \\ \\ \\ \text{Me} \\ \\ \\ \text{O} \\ \end{array}$$

RN 362013-95-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(cyclopentylamino)-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-96-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(ethylamino)-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362013-97-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(ethylamino)-3-methyl-6-(4-pyridinyl)-, ethanedioate

(9CI) (CA INDEX NAME)

CM 1

CRN 362013-96-5 CMF C12 H14 N4 O

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 362013-98-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[(2,3-dihydro-1H-inden-2-yl)amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362014-02-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-3-methyl-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 362014-03-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-3-methyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

N NH 
$$CH_2$$
  $CH_2-NH_2$ 

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L8
     ANSWER 11 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
AN
     2001:709694 CAPLUS
DN
     135:262238
ΤI
     Preparation of 2-(indolylalkylamino)pyrimidone derivatives as qsk3beta
     inhibitors
     Almario-Garcia, Antonio; Frost, Jonathan Reid; Li, Adrien-Tak
IN
PA
     Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.
so
     Eur. Pat. Appl., 14 pp.
     CODEN: EPXXDW
DT
     Patent
LA
     English
FAN.CNT 4
                                 DATE
     PATENT NO.
                         KIND
                                             APPLICATION NO.
                                                                     DATE
                          ____
     ______
                                             -----
PΙ
     EP 1136099
                          A1
                                20010926
                                             EP 2000-400805
                                                                     20000323
            AT, BE, CH, DE, PK, ES, FR,
                                          GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
070727 A1 \ 2001
     WO 2001070727
                               20010927
                                             WO 2001-EP3638
                                                                     20010322
         W: AE, AG, AL, AM, AT, AU, AZ,
                                         BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DÈ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 2001048365
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                                             AU 2001-48365
PRAI EP 2000-400804
                          Α
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     EP 2000-400805
                                 20000323
                          Α
     EP 2000-400806
                                 20000323
                          Α
     JP 2000-81938
                                 20000323
                          Α
     WO 2001-EP3638
                                 20010322
os
     MARPAT 135:262238
AB
     A pyrimidone derivative represented by formula I or a salt thereof: wherein:
     R1 represents a hydrogen atom or a C1-6 alkyl group; R2 represents a
     hydrogen atom or a C1-6 alkyl group; R3 represents a 2, 3 or 4-pyridyl
     group optionally substituted by a C1-4 alkyl group, a C1-4 alkoxy group or
     a halogen atom; R4 represents a hydrogen atom, a C1-6 alkyl group, a
     halogen atom, a C1-2 perhalogenated alkyl group, a C1-3 halogenated alkyl
     group, a hydroxyl group, a C1-6 alkoxy group, methylenedioxy group, a
     nitro, a cyano, an amino, a C1-6 monoalkylamino group, C2-12 dialkylamino
     group, a C1-6 alkylcarbonylamino group, C6-10 arylcarbonylamino group, a
     Ph group or a benzyloxy group; and n represents 1 to 5. And a medicament
     comprising the said derivative or a salt thereof as an active ingredient which
     is used for preventive and/or therapeutic treatment of a neurodegenerative
     disease caused by abnormal activity of GSK3B (as glycogen synthase
     kinase 3\beta) such as Alzheimer's disease, Parkinson's disease,
     frontoparietal dementia, corticobasal degeneration, Pick's disease,
     cerebrovascular accidents, brain and spinal cord trauma and peripheral
     neuropathies. A solution of 2-(methylthio)-6-pyridinyl-4-ylpyrimidin-4(1H)-
     one and different indolylalkylamines in amyl alc. were heated at
     150° for 72 h to obtain 2-[indolylalkylamino]-6-pyridin-4-
     ylpyrimidin-4(1H)-one derivs. Inhibitory activity of the above derivs.
     against gsk3ß was tested. A tablet contained a 2-
     (indolylalkylamino)pyrimidone derivative 30, crystalline cellulose 60, corn
     100, lactose 200, and magnesium stearate 4 mg.
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Page 99

IT 362048-05-3P 362048-06-4P 362048-07-5P 362048-08-6P 362048-09-7P 362048-10-0P 362048-11-1P 362048-12-2P 362048-13-3P

362048-14-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolylalkylaminopyrimidone derivs. as glycogen synthase kinase inhibitors)

RN 362048-05-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 362048-04-2 CMF C19 H17 N5 O

$$\begin{array}{c|c} H & H & H & H \\ \hline & CH_2 - CH_2 - NH & N & N \\ \hline & O & O & O \\ \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 362048-06-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(5-methoxy-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ \end{array}$$

$$CH_2 - CH_2 - NH - \begin{array}{c} H \\ N \\ \end{array}$$

$$O$$

RN 362048-07-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(5-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-

pyridinyl) - (9CI) (CA INDEX NAME)

Me 
$$CH_2-CH_2-NH$$

RN 362048-08-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-[5-(phenylmethoxy)-1H-indol-3-yl]ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Ph-CH}_2-\text{O} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 362048-09-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-methoxy-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362048-10-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-fluoro-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & H \\ \hline & N \\ \hline & CH_2 - CH_2 - NH \\ \hline & N \\ \hline & O \\ \end{array}$$

RN 362048-11-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(7-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 362048-12-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & Me & H \\ \hline \\ CH_2-CH_2-N & N \\ \hline \\ O & \\ \end{array}$$

RN 362048-13-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & Me \\ \hline & N & Me \\ \hline & CH_2-CH_2-NH & N \\ \hline & N & N \\ \hline & O & N \\ \end{array}$$

RN 362048-14-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ N \\ \hline \\ CH_2-CH_2-NH \\ \hline \\ N \\ \hline \\ O \\ \end{array}$$

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L8 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN AN 2000:227649 CAPLUS
DN 132:265206
TI Preparation of pyrimidones for treating diseases ca
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TI Preparation of pyrimidones for treating diseases caused by tau protein kinase 1 hyperactivity such as Alzheimer disease

IN Watanabe, Kazutoshi; Ando, Ryoichi; Saito, Ken-ichi; Kawamoto, Rie; Shoda, Aya

PA Mitsubishi Chemical Corporation, Japan

SO PCT Int. Appl., 106 pp. CODEN: PIXXD2

DT Patent LA English

FAN.CNT 1

	PATENT NO.			KIND DATE			APPLICATION NO.				DATE							
ΡI	WO	2000	0187	58		A1	_	2000	0406	,						1	9990	924
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								KR,										
								NZ,										
								UA,										
						RU,						•	·	•	•	•	•	•
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,
								IE,										
								ML,						-	-	•	-	•
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	EΡ	1115	721					2001	0718		EP 1	999-	9448	15		1:	9990	924
	ΕP	1115	721			В1		2003	1210									
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FΙ,	RO										
	JP	2002	5253	66		Т2		2002	0813		JP 2	000-	5722	18		19	9990	924
	ΑT	2561	23			E		2003	1215	i	AT 1	999-	9448	15		19	9990	924
	PT	1115						2004	0430		PT 1:	999-9	9448	15		19	9990	924
	ES	2214	045			Т3		2004	0901	1	ES 1	999-9	9448	15		1	9990	924
PRAI	JP	1998	-271	277		Α		1998	0925									
	JP	1998	-3052	266		Α		1998	1027									
	WO	1999	-JP52	224		W		1999	0924									
os	MAR	PAT :	132:2	26520	06													

AB The title compds. [I; RI = C1-18 alkyl, C3-18 alkenyl, C3-18 alkenyl, etc.; R2 = H, OH, C1-18 alkyl, etc.; R3 = (un)substituted pyridyl], useful for preventive and/or therapeutic treatment of a disease caused by tau protein kinase 1 hyperactivity such as Alzheimer disease, were prepared and formulated. Thus, reacting Et 3-(4-pyridyl)-3-oxopropionate with 3-amidinopyridine.HCl in the presence of K2CO3 in EtOH afforded I [R1 = 3-pyridyl; R2 = H; R3 = 4-pyridyl] which showed IC50 of 2.3 μM against P-GS1 phosphorylation by bovine cerebral TPK1.

IT 54950-14-0P 54950-15-1P 263244-10-6P 263244-16-2P 263244-25-3P 263244-26-4P 263244-27-5P 263244-30-0P 263244-31-1P 263244-32-2P 263244-34-4P 263244-35-5P 263244-36-6P 263244-37-7P 263244-38-8P 263244-39-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidones for treating diseases caused by tau protein kinase 1 hyperactivity such as Alzheimer disease)

RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$Me_2N \longrightarrow_N^H N$$

RN 54950-15-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 263244-10-6 CAPLUS

CN Benzamide, N-[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 263244-16-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(diethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 263244-25-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[methyl(phenylmethyl)amino]-6-(4-pyridinyl)- (9CI)

(CA INDEX NAME)

RN 263244-26-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(phenylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 263244-27-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3,3-diphenylpropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 263244-30-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[methyl(2-methylpropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 263244-31-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dipropylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 263244-32-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-hydroxypropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 263244-34-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(cyclohexylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 263244-35-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(4-ethylphenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 263244-36-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(4-butoxyphenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 263244-37-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-bromophenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 263244-38-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(phenylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 263244-39-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-methoxyphenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1992:59167 CAPLUS

DN 116:59167

TI Chemotherapeutic agents. XXI. Synthesis of  $\pi\text{-deficient}$  pyrimidines as leishmanicides

AU Ram, Vishnu J.

CS Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, India

SO Archiv der Pharmazie (Weinheim, Germany) (1991), 324(11), 837-9 CODEN: ARPMAS; ISSN: 0365-6233

DT Journal

LA English

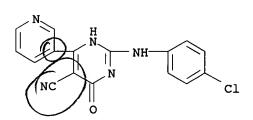
AB 5-Cyano-6-(3-pyridyl)-2-thiouracil (I) was prepared from 3-pyridinecarboxaldehyde, thiourea, and Et cyanoacetate. Alkylation of I with mono- and dihaloalkanes under different conditions, gave alkylated derivs. e.g. II (R = MeS, PhCH2S) and III. Halogenation of II (R = PhCH2S) with POCl3 followed by nucleophilic substitution with amines gave the corresponding amines, e.g. IV. Fusion of II (R = MeS) with aromatic and heterocyclic amines at 160° gave the substitution products e.g. II (R = 4-methylpiperazino). Some of the compds. were screened for antileishmanial activity but only one of them IV demonstrated very significant activity.

IT 138429-65-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 138429-65-9 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-[(4-chlorophenyl)amino]-1,4-dihydro-4-oxo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)





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L8
    ANSWER 14 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
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1984:610998 CAPLUS AN

DN 101:210998

Dihydropyridinedicarboxylates ΤI

PA Pfizer Corp., USA

Jpn. Kokai Tokkyo Koho, 42 pp. SO

CODEN: JKXXAF

DTPatent

LΑ Japanese

FAN.	CNT 1 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 59118782	A2	19840709		19831221
	JP 02025913	B4	19900606		
	US 4572908	Α	19860225		19831216
	PL 140069	B1	19870331		19831216
	PL 140573	B1	19870530		19831216 /
	NO 8304689	Α	19840622	NO 1983-4689	19831219/
	NO 159272	В	19880905		/
	NO 159272	С	19881214		
	EP 116769	A1	19840829		19831219
	EP 116769	B1	19870325		
				LI, LU, NL, SE	
	ES 528157	A1	19850801		19831219
	ZA 8309381	Α	19850828	ZA 1983-9381	19831219
	EP 168841	A A2 A3	19860122	EP 1985-109347	19831219
	EP 168841				
	EP 168841	B1	19880928		
	R: BE, CH, D	E, FR, GB			
	AT 26114	E	19870415		19831219
	IL 70477	A1	19870831	IL 1983-70477	19831219
	FI 8304692	Α	19840622	FI 1983-4692	19831220
	FI 79104	В	19890731		
	FI 79104	С	19891110		
	AU 8322559	A1	19840628	AU 1983-22559	19831220
	AU 546057	B2	19850815		
	DK 8305865	Α	19840727	DK 1983-5865	19831220
	DD 213920	A5	19840926		19831220
	HU 32816	0	19840928	HU 1983-4351	19831220
	HU 193275	В	19870928		
	CA 1215050	A1	19861209	CA 1983-443770	19831220
	SU 1296006	A3	19870307	SU 1983-3682597	19831220
	CS 249516	B2	19870312	CS 1983-9668	19831220
	SU 1391499	A3	19880423	SU 1984-3739756	19840508
	ES 534723	A1	19850616	ES 1984-534723	19840730
	CS 249525	B2	19870312	CS 1984-7453	19841001
	US 4661485	Α	19870428	US 1986-830292	19860214
	US 4670449	Α	19870602	US 1986-830384	19860214
PRAI	GB 1982-36347	Α	19821221		
	US 1983-562482	A3	19831216		
	EP 1983-307719	P	19831219		

A3 19831220 os CASREACT 101:210998; MARPAT 101:210998

CS 1983-9668

AΒ Title compds. I [R = (un) substituted aryl, heteroaryl; R1, R2 = alkyl, MeOCH2CH2; R3 = (un) substituted heterocyclyl; Z = alkylene), useful as antihypertensives (data given on Ca++ transport inhibition), were prepared Thus, treating amine II (R4 = H) with (MeS) 2C:NCN gave II (R4 = MeSC:NCN) which was treated with N2H4 to give I (R = 2-C1C6H4, R1 = Me, R2 = Et, R3

= 2-amino-1H-1, 2, 4-triazol-5-yl, Z = CH2CH2).

## IT 93118-63-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antihypertensive activity of)

RN 93118-63-9 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2,3-dichlorophenyl)-2-[[2-[[1,4-dihydro-4-oxo-6-(2-pyridinyl)-2-pyrimidinyl]amino]ethoxy]methyl]-1,4-dihydro-6-methyl-, 3-ethyl 5-methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & H \\ N & CH_2-O-CH_2-CH_2-NH \\ N & O \\ O & C1 \\ \end{array}$$

L8 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1976:44112 CAPLUS

DN 84:44112

TI 4-Hydroxy-pyridylpyrimidine derivatives

IN Tani, Hidero; Nakamura, Koji; Mori, Yasuhiro; Yokoo, Nobuo; Kyotani, Yoshinori; Wada, Yasushi

PA Kowa Co., Ltd., Japan

SO Jpn. Tokkyo Koho, 3 pp.

CODEN: JAXXAD

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	DATE APPLICATION NO.		
ΡI	JP 49035631	B4	19740925	JP 1970-127611	19701228	
PRAI	JP 1970-127611		19701228			

AB Seven pyrimidinols (I, R = 2-, 3-, 4-pyridyl, R1 = H, Me, or R12N = morpholino), useful as antiinflammatory agents (no data), were prepared from the corresponding pyridylcarbonylacetic acid ester and guanidine derivs. [R12NC(:NH)NH2]. E.g., 54.9 g nicotinoylacetic acid Me ester in 53 g EtOAc was refluxed with EtO Na (obtained from 11.5 g Na and 200 ml EtOH) for 10 hr and the reaction mixture was adjusted with H2SO4 to pH 7 to give 24.95 g nicotinoylacetic acid Et ester, which (18.1 g) was refluxed 5 hr with 12.6 g H2NC(:NH)NH2 carbonate in 60 ml EtOH to give I (R = 3-pyridyl, R1 = H).

IT 54950-14-0P 54950-15-1P 54950-16-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 54950-15-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 54950-16-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(2-pyridinyl)- (9CI) (CA INDEX

NAME)

$$Me_2N \longrightarrow \begin{matrix} H \\ N \end{matrix}$$

Some #15

ANSWER 16 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN L8

AN 1975:410129 CAPLUS

DN 83:10129

TI 2-(Substituted)-4-hydroxy-6-pyridylpyrimidine derivatives

Tani, Hidero; Nakamura, Koji; Mori, Yasuhiro; Yokoo, Nobuo; Kyotani, IN Yoshinori; Wada, Yasushi

PA Mori, Hiroshi

Jpn. Tokkyo Koho, 3 pp. SO

CODEN: JAXXAD

DT Patent

LΑ Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI	JP 49035634	B4	19740925	JP 1970-128203	19701230		
PRAI	JP 1970-128203		19701230				

Seven 2-amino-6-pyridyl-4-pyrimidinols (I, R = H2, Me, or R2N =morpholino; R1 = 2-, 3-, or 4-pyridyl), useful as antiinflammatory agents, were prepared from the 2-(methylthio) derivs. and the appropriate amines. E.g., 3.0 g 2-(methylthio)-6-(4-pyridyl)-4-pyrimidinol, obtained from reaction of H2NC(:S)NH2 with Et isonicotinoylacetate and subsequent methylation, was treated with 260 mg Me2NH in BuOH at 150° for 2 hr to give 76.5% I (R = Me, R1 = 4-pyridyl).

IT 54950-14-0P 54950-15-1P 54950-16-2P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$Me_2N \longrightarrow_{N} H$$

RN 54950-15-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

54950-16-2 CAPLUS RN

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Same #15

L8 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1975:410127 CAPLUS

DN 83:10127

TI 5-Nitro-6-pyridylprimidine derivatives

IN Tani, Hidero; Nakamura, Koji; Yokoo, Nobuo; Kyotani, Yoshinori; Akaishi, Keisuke

PA Mori, Hiroshi

SO Jpn. Tokkyo Koho, 3 pp.

CODEN: JAXXAD

DT Patent

LA Japanese

FAN.CNT 1

1711.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	JP 49035633	B4	19740925	JP 1970-128199	19701230		
PRAI	JP 1970-128199		19701230				

AB Three 5-nitro-2-amino-4-(4-pyridyl)pyrimidines (R = H, Me; R1 = OH, NH2), useful as antiinflammatory agents, were prepared by nitration of the corresponding II. Thus, 15 g II (R = Me, R1 = NH2) was treated with a mixture of 10 ml fuming HNO3 and 50 ml H2SO4 for 1 hr and the mixture was treated with 28% NH3-H2O to give 8.08 g I (R = Me, R1 = NH2).

IT 54950-14-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (nitration of)

RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

## IT 55361-89-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 55361-89-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-5-nitro-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me2N} & \overset{H}{N} \\ & & \\ &$$

ANSWER 18 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN L8

AN 1975:171028 CAPLUS

DN 82:171028

2,4,5-Trisubstituted-6-pyridylpyrimidine derivatives ΤI

Tani, Hideo; Nakamura, Koji; Yokoo, Nobuo; Kyoya, Yoshinori; Akashi, IN Keisuke Same on #15.

PΑ

Mori, Hiroshi Jpn. Tokkyo Koho, 3 pp. SO CODEN: JAXXAD

DTPatent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	DATE			
PI JP 49036719	В4	19741002	JP 1970-128201	19701230		
PRAI JP 1970-128201		19701230	•			

Pyridylpyrimidinols [I, R = 1-piperidinylmethyl (II), morpholinomethyl], useful as antiinflammatory agents (no data), were prepared by reacting I (R = H) with RH and formalin. E.g., 650 mg I (R = H) was refluxed with 0.036ml HOAc, 306 mg piperidine, 0.375 ml formalin and 6 ml EtOH for 45 min, the mixture allowed to stand for 2.5 hr, 0.1 ml formalin added, and the mixture again refluxed for 1.5 hr to give 44 mg II. II·HCl was also prepared

ΙT 54950-14-0

> RL: RCT (Reactant); RACT (Reactant or reagent) (reaction with amines and formaldehyde)

RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$Me_2N \longrightarrow N$$

## => => d his

(FILE 'HOME' ENTERED AT 11:11:31 ON 09 MAY 2006)

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_									

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5 S L1 SSS SAM L2

L3 443 S L1 SSS FUL

L4 STRUCTURE UPLOADED

L5 8 S L4 SSS SAM SUB=L3 L6 218 S L4 SSS FUL SUB=L3

L7 225 S L3 NOT L6

FILE 'CAPLUS' ENTERED AT 11:15:48 ON 09 MAY 2006

L8 18 S L7

FILE 'CAOLD' ENTERED AT 11:16:28 ON 09 MAY 2006

=> s 17

0 L7 L9

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COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION 0.44 302.07 FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

ENTRY SESSION -13.50 ENTRY

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 11:16:41 ON 09 MAY 2006